10/502,538

See also searches for
10/494,137 & 10/494,388

QUINAZOLINE DERIVATIVES

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	18	FEB 10	STN Patent Forums to be held in March 2005
NEWS	19	FEB 16	STN User Update to be held in conjunction with the 229th ACS National Meeting on March 13, 2005
NEWS	20	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	21	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	22	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	23	MAR 02	GBFULL: New full-text patent database on STN
NEWS	24	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	25	MAR 03	MEDLINE file segment of TOXCENTER reloaded

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

QUINAZOLINE DERIVATIVES

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:43:36 ON 15 MAR 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:43:55 ON 15 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 MAR 2005 HIGHEST RN 845540-96-7
DICTIONARY FILE UPDATES: 14 MAR 2005 HIGHEST RN 845540-96-7

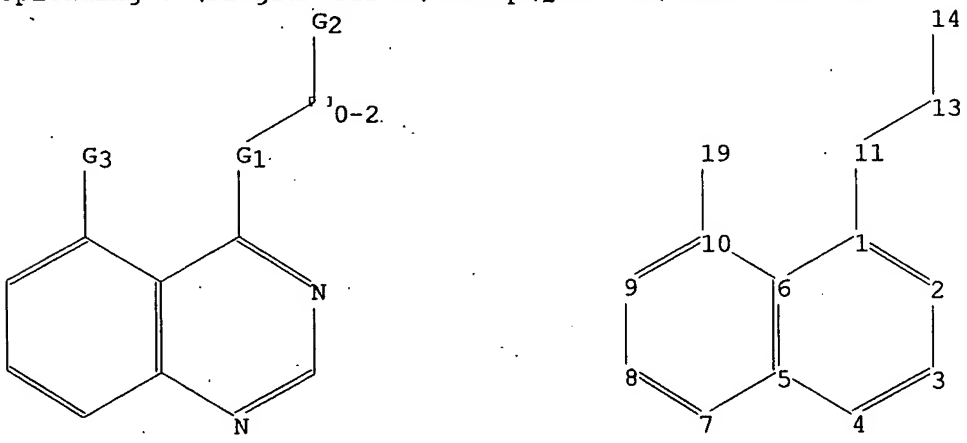
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\combosrch1.str



chain nodes :

QUINAZOLINE DERIVATIVES

11 13 14 19
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-11 10-19 11-13 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-11 10-19 11-13 13-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

G1:O,S,N

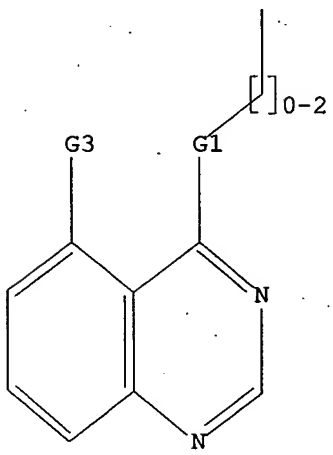
G2:Ph,Hy

G3:H,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 13:CLASS 14:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

G2 Ph,Hy

G3 H,O,S,N

Structure attributes must be viewed using STN Express query preparation.

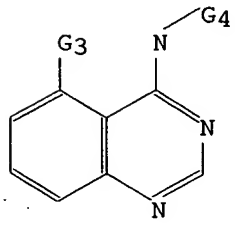
=> s l1 ful
FULL SEARCH INITIATED 17:44:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 79499 TO ITERATE

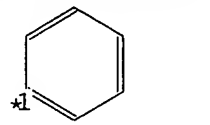
QUINAZOLINE DERIVATIVES

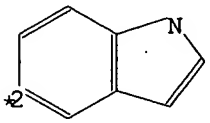
100.0% PROCESSED 79499 ITERATIONS 9492 ANSWERS
SEARCH TIME: 00.00.02

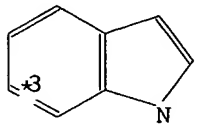
L2 9492 SEA SSS FUL L1

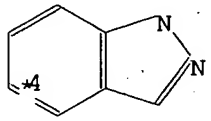
=>
Uploading C:\Program Files\Stnexp\Queries\10494137.str

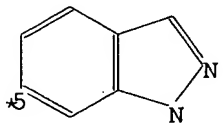


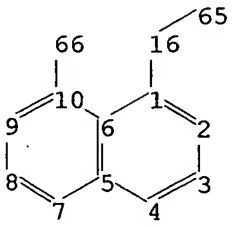


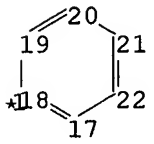


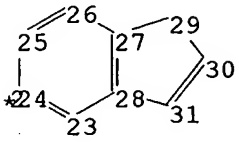


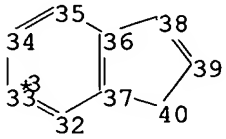


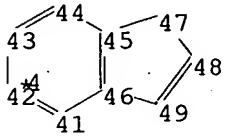


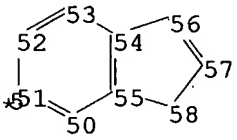












chain nodes :
16 65 66
ring nodes :

QUINAZOLINE DERIVATIVES

1 2 3 4 5 6 7 8 9 10 17 18 19 20 21 22 23 24 25 26 27 28 29
30 31 32 33 34 35 36 37 38 39 40 41 42 43 44 45 46 47 48 49 50
51 52 53 54 55 56 57 58
chain bonds :
1-16 10-66 16-65
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 17-18 17-22 18-19 19-20
20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28 27-29 28-31 29-30 30-31
32-33 32-37 33-34 34-35 35-36 36-37 36-38 37-40 38-39 39-40 41-42 41-46
42-43 43-44 44-45 45-46 45-47 46-49 47-48 48-49 50-51 50-55 51-52 52-53
53-54 54-55 54-56 55-58 56-57 57-58
exact/norm bonds :
1-16 10-66 16-65 27-29 29-30 37-40 39-40 45-47 47-48 48-49 55-58 56-57
57-58
exact bonds :
28-31 30-31 36-38 38-39 46-49 54-56
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 17-18 17-22 18-19 19-20
20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28 32-33 32-37 33-34 34-35
35-36 36-37 41-42 41-46 42-43 43-44 44-45 45-46 50-51 50-55 51-52 52-53
53-54 54-55
isolated ring systems :
containing 1 : 17 : 23 : 32 : 41 : 50 :

G1:O,S,N

G2:Ph,Hy

G3:H,O,S,N

G4:[*1],[*2],[*3],[*4],[*5]

Hydrogen count :
3:= exact 1 7:= exact 1 8:= exact 1 9:= exact 1
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
16:CLASS 17:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom
25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom
34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom
43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom
52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom 65:CLASS 66:CLASS

L3 STRUCTURE UPLOADED — for 10/494,137

=> d l3
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

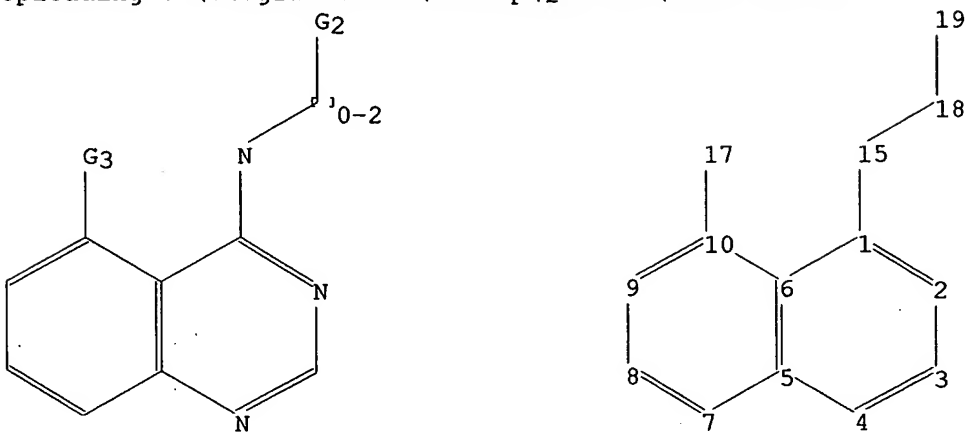
=> s l3 sub=l2 ful
FULL SUBSET SEARCH INITIATED 17:45:36 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 4658 TO ITERATE

QUINAZOLINE DERIVATIVES

100.0% PROCESSED 4658 ITERATIONS 45 ANSWERS
SEARCH TIME: 00.00.01

L4 45 SEA SUB=L2 SSS FUL L3

=>
Uploading C:\Program Files\Stnexp\Queries\10494388.str



10/494,388

chain nodes :
15 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-15 10-17 15-18 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-15 10-17 15-18 18-19
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:O,S,N

G2:Ph,Hy

G3:H,O,S,N

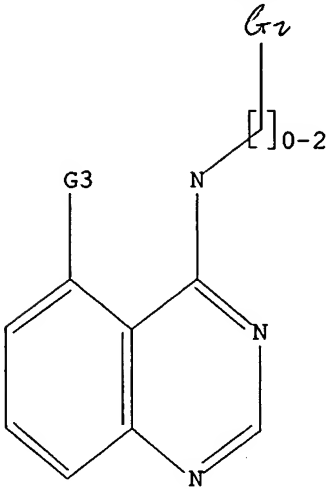
G4

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
15:CLASS 17:CLASS 18:CLASS 19:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR

QUINAZOLINE DERIVATIVES



G1 O,S,N

G2 Ph,Hy

G3 H,O,S,N

G4

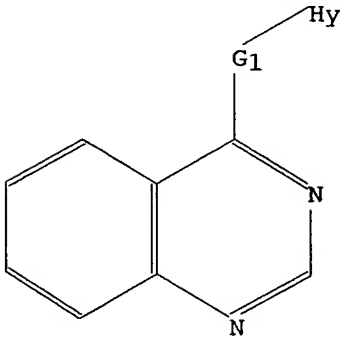
Structure attributes must be viewed using STN Express query preparation.

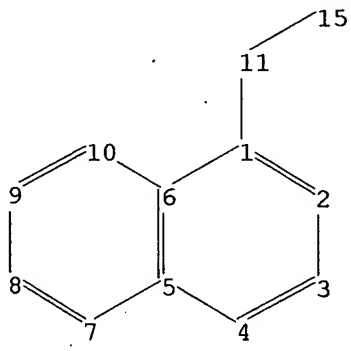
=> s l5 sub=l2
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full
FULL SUBSET SEARCH INITIATED 17:46:33 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 7893 TO ITERATE

100.0% PROCESSED 7893 ITERATIONS 7653 ANSWERS
SEARCH TIME: 00.00.01

L6 7653 SEA SUB=L2 SSS FUL L5

=>
Uploading C:\Program Files\Stnexp\Queries\10502538.str





10/502,538

chain nodes :
11 15
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-11 11-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

QUINAZOLINE DERIVATIVES

exact/norm bonds :
1-11 11-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:O,S,N

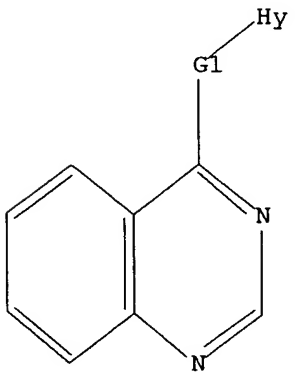
G2:Ph,Hy

G3:H,O,S,N

Hydrogen count :
3:= exact 1
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 15:Atom
Generic attributes :
15:
Number of Carbon Atoms : 7 or more
Type of Ring System : Polycyclic

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



G1 O,S,N

G2 Ph,Hy

G3 H,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l7 sub=l2 full
FULL SUBSET SEARCH INITIATED 17:47:10 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 9492 TO ITERATE

QUINAZOLINE DERIVATIVES

100.0% PROCESSED 9492 ITERATIONS 1679 ANSWERS
SEARCH TIME: 00.00.01

L8 1679 SEA SUB=L2 SSS FUL L7

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	278.06	278.27

FILE 'CAPLUS' ENTERED AT 17:47:56 ON 15 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 Mar 2005 VOL 142 ISS 12
FILE LAST UPDATED: 14 Mar 2005 (20050314/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 17:43:36 ON 15 MAR 2005)

FILE 'REGISTRY' ENTERED AT 17:43:55 ON 15 MAR 2005
L1 STRUCTURE UPLOADED
L2 9492 S L1 FUL
L3 STRUCTURE UPLOADED
L4 45 S L3 FUL SUB=L2
L5 STRUCTURE UPLOADED
L6 7653 S L5 SUB=L2 FULL
L7 STRUCTURE UPLOADED
L8 1679 S L7 FULL SUB=L2

FILE 'CAPLUS' ENTERED AT 17:47:56 ON 15 MAR 2005

=> s l4
L9 58 L4

=> s l6/thu
550 L6
662709 THU/RL
L10 263 L6/THU
(L6 (L) THU/RL)

=> s l10 not l9
L11 241 L10 NOT L9

QUINAZOLINE DERIVATIVES

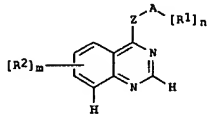
```
=> s l10 and (cancer? or tumor or tumour or tyrosine)
        252417 CANCER?
        331022 TUMOR
          2191 TUMOUR
        139709 TYROSINE
L12        129 L10 AND (CANCER? OR TUMOR OR TUMOUR OR TYROSINE)

=> s l8 and (cancer? or tumor or tumour or tyrosine)
            80 L8
        252417 CANCER?
        331022 TUMOR
          2191 TUMOUR
        139709 TYROSINE
L13         59 L8 AND (CANCER? OR TUMOR OR TUMOUR OR TYROSINE)

=> s l13 not (l9 or l11)
L14         12 L13 NOT (L9 OR L11)

=> d his

     (FILE 'HOME' ENTERED AT 17:43:36 ON 15 MAR 2005)

     FILE 'REGISTRY' ENTERED AT 17:43:55 ON 15 MAR 2005
L1              STRUCTURE UPLOADED
L2         9492 S L1 FUL
L3              STRUCTURE UPLOADED
L4           45 S L3 FUL SUB=L2
L5              STRUCTURE UPLOADED
L6         7653 S L5  SUB=L2 FULL
L7              STRUCTURE UPLOADED
L8         1679 S L7 FULL SUB=L2

     FILE 'CAPLUS' ENTERED AT 17:47:56 ON 15 MAR 2005
L9           58 S L4
L10         263 S L6/THU
L11         241 S L10 NOT L9
L12         129 S L10 AND (CANCER? OR TUMOR OR TUMOUR OR TYROSINE)
L13          59 S L8 AND (CANCER? OR TUMOR OR TUMOUR OR TYROSINE)
L14          12 S L13 NOT (L9 OR L11)
```

QUINAZOLINE DERIVATIVES

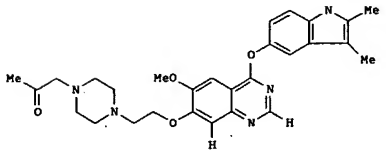
L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:141059 CAPLUS
TITLE: Preparation of quinazolines as inhibitors of VEGF receptor tyrosine kinases
INVENTOR(S): Hennequin, Laurent Francois Andre
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014582	A1	20050217	WO 2004-GB3376	20040805
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2003-18422 A	20030806

GI



I



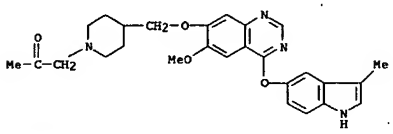
II

L14 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. I [wherein A = 8, 9, 10, 12, or 13-membered bicyclic or tricyclic (un)saturated (non)aromatic; Z = O, NH, S; n = 0-5; m = 0-3; R2 = each independently H, OH, halo, CN, NO2, CF3, alkyl, alkoxy, etc.; R1 = each independently H, Me, F; and their salts] were prepared for the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm blooded animals. Thus, II was prepared by O-alkylation of 2,3-dimethyl-5-hydroxyindole with 4-chloro-7-(2-chloroethoxy)-6-methoxyquinazoline (preparation given), and amination of the chloride with 1-(acetylmethyl)piperazine. I inhibited gene flt-1 and KDR VEGF receptor tyrosine kinase, FGF, and EGFR receptor with IC50 values < 5 μM in an in vivo test. I inhibited the growth factor--stimulated proliferation of HUVEC cells with IC50 values in the range of 0.001 - 5 μM. II displayed an IC50 = 10.1 μM in an hERG-encoded potassium channel inhibition test. I and their pharmaceutically acceptable salts are useful for treating disease states associated with angiogenesis and/or increased vascular permeability, for e.g. cancer and rheumatoid arthritis.

IT 844659-27-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(angiogenesis inhibitor; preparation of quinazolines as inhibitors of VEGF receptor tyrosine kinases and their use for treating angiogenesis and/or increased vascular permeability)

RN 844659-27-4 CAPLUS
CN 2-Propanone, 1-[4-[[[6-methoxy-4-[(3-methyl-1H-indol-5-yl)oxy]-7-quinazolinyl]oxy]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

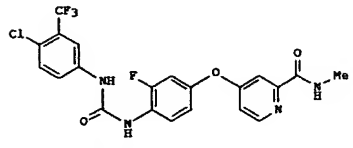


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:99470 CAPLUS
DOCUMENT NUMBER: 142:197889
TITLE: Fluoro substituted omega-carboxyaryl diphenyl urea for treatment of raf, VEGFR, PDGFR, p38 and flt-3 kinase-mediated diseases
INVENTOR(S): Dumas, Jacques; Boyer, Stephen; Riedl, Bernd; Wilhelm, Scott
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009961	A2	20050203	WO 2004-US23500	20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005038080	A1	20050217	US 2004-895985	20040722
PRIORITY APPLN. INFO.:			US 2003-489102P P	20030723
			US 2004-540326P P	20040202

GI



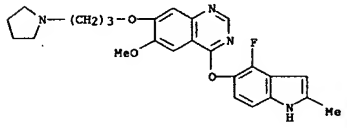
I

AB Title compound I is prepared I and salts thereof is prepared in several steps from 3-fluoro-4-nitrophenol, 4-chloro-N-methylpyridine-2-carboxamide and 4-chloro-3-(trifluoromethyl)phenylisocyanate. I inhibits PDGFR tyrosine kinase with IC50 = 83nM. I is useful for the treatment of, e.g., inflammation and as an antiproliferative agent.

IT 288383-20-0, AZD 2171
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination pharmaceutical; fluoro substituted omega-carboxyaryl di-Ph urea for treatment of raf, VEGFR, PDGFR, p38 and flt-3 kinase-mediated

L14 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
diseases)

RN 288383-20-0 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



QUINAZOLINE DERIVATIVES

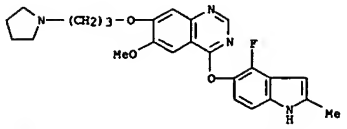
L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:55069 CAPLUS
DOCUMENT NUMBER: 142:127566
TITLE: Cancer combination therapy comprising AZD2171 and ZD1839 and optional ionizing radiation
INVENTOR(S): Wedge, Stephen Robert
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004872	A1	20050120	WO 2004-GB2944	20040708

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-16127 A 20030710
AB The invention discloses a method for the production of an antiangiogenic and/or vascular permeability reducing effect in a warm-blooded animal such as a human which is optionally being treated with ionizing radiation, particularly a method for the treatment of a cancer, particularly a cancer involving a solid tumor, which comprises the administration of AZD2171 in combination with ZD1839. Also disclosed are a pharmaceutical composition comprising AZD2171 and ZD1839, a combination product comprising AZD2171 and ZD1839 for use in a method of treatment of a human or animal body by therapy, a kit comprising AZD2171 and ZD1839, the use of AZD2171 and ZD1839 in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in a warm-blooded animal such as a human which is optionally being treated with ionizing radiation.
IT 288383-20-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(AZD 2171; cancer combination therapy with AZD2171 and ZD1839 and optional ionizing radiation)
RN 288383-20-0 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

L14 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

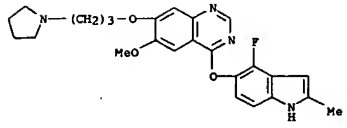
L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:55068 CAPLUS
DOCUMENT NUMBER: 142:127565
TITLE: AZD2171-ZD6126 combination with optional ionizing radiation for the production of an antiangiogenic and/or vascular permeability-reducing effect and the treatment of cancer
INVENTOR(S): Wedge, Stephen Robert
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004871	A1	20050120	WO 2004-GB2937	20040707

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-16123 A 20030710
AB The invention discloses a method for the production of an antiangiogenic and/or vascular permeability-reducing effect in a warm-blooded animal such as a human which is optionally being treated with ionizing radiation, particularly a method for the treatment of a cancer, particularly a cancer involving a solid tumor, which comprises the administration of AZD2171 in combination with ZD6126. Also disclosed are a pharmaceutical composition comprising AZD2171 and ZD6126, a combination product comprising AZD2171 and ZD6126 for use in a method of treatment of a human or animal body by therapy, a kit comprising AZD2171 and ZD6126, the use of AZD2171 and ZD6126 in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in a warm-blooded animal such as a human which is optionally being treated with ionizing radiation.
IT 288383-20-0, AZD 2171
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(AZD2171-ZD6126 combination with optional ionizing radiation for production of antiangiogenic and/or vascular permeability-reducing effect and treatment of cancer)
RN 288383-20-0 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

L14 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

QUINAZOLINE DERIVATIVES

L14 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:965067 CAPLUS
DOCUMENT NUMBER: 141:406039
TITLE: Combinations for the treatment of diseases involving cell proliferation, migration or apoptosis of myeloma cells, or angiogenesis
INVENTOR(S): Hilberg, Frank; Solca, Flavio; Stefanic, Martin Friedrich; Baum, Anke; Munzert, Gerd; Van Meel, Jacobus C. A.
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096224	A2	20041111	WO 2004-EP4363	20040424
WO 2004096224	A3	20041216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1473043	A1	20041103	EP 2003-9587	20030429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

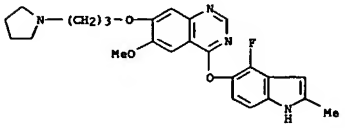
PRIORITY APPLN. INFO.:
EP 2003-9587 A 20030429
EP 2004-508 A 20040113
EP 2004-1171 A 20040121

AB The present invention relates to a pharmaceutical combination for the treatment of diseases which involves cell proliferation, migration or apoptosis of myeloma cells, or angiogenesis. The invention also relates to a method for the treatment of said diseases, comprising co-administration of effective amts. of specific active compds. and/or co-treatment with radiation therapy, in a ratio which provides an additive and synergistic effect, and to the combined use of these specific compds. and/or radiotherapy for the manufacture of corresponding pharmaceutical combination prepns. The pharmaceutical combination can include selected protein tyrosine kinase receptor antagonists and further chemotherapeutic or naturally occurring semisynthetic or synthetic agents.

IT 288383-20-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug combinations for diseases involving cell proliferation and migration or apoptosis or angiogenesis including protein tyrosine kinase receptor antagonists and radiotherapy)

RN 288383-20-0 CAPLUS

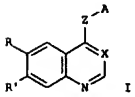
L14 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:182845 CAPLUS
DOCUMENT NUMBER: 140:217519
TITLE: Preparation of quinoline derivatives as TGFβ inhibitors
INVENTOR(S): Shimizu, Kiyoshi; Shimizu, Toshiyuki; Kimura, Kaname; Kawakami, Kazuki; Nakoji, Masayoshi
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 628 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018430	A1	20040304	WO 2003-JP10647	20030822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
JP 2002-244028 A 20020823
OTHER SOURCE(S): MARPAT 140:217519
GI

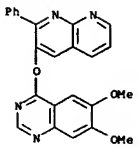


AB The title compds. I [wherein X = CH or N; Z = O, NH, S, or CO; R and R' = independently H, halo, (un)substituted alkyl, alkenyl, NH2, CONH2, OH, or heterocyclyl; A = (un)substituted Ph or (hetero)cyclyl] or pharmaceutically acceptable salts, or solvates thereof are prepared as transforming growth factor (TGF) β inhibitors. For example, 4-chloro-6,7-dimethoxyquinoline was reacted with 2-benzylphenol in 1,2-dichlorobenzene to give 4-(2-benzylphenoxy)-6,7-dimethoxyquinoline (10%). Some of compds. I inhibited 100% of human TGFβ at 10 μM.

IT 666734-03-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline derivs. as TGFβ inhibitors)

RN 666734-03-8 CAPLUS
CN Quinazoline, 6,7-dimethoxy-4-[(2-phenyl-1,8-naphthyridin-3-yl)oxy]- (9CI) (CA INDEX NAME)

L14 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

QUINAZOLINE DERIVATIVES

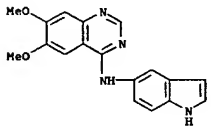
L14 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:963642 CAPLUS
DOCUMENT NUMBER: 140:296840
TITLE: Structural and electronic properties of tyrosine kinases inhibitors
AUTHOR(S): Santillan, M. B.; Tomas-vert, F.; Aullo, J. M.; Jauregui, E. A.; Ciuffo, G. M.
CORPORATE SOURCE: Departamento de Quimica, Facultad de Quimica, Bioquimica y Farmacia, Universidad Nacional de San Luis, San Luis, 5700, Argent.
SOURCE: Cellular and Molecular Biology (Paris, France, Print) (2003), 49(6), 929-937
CODEN: CMOBEF; ISSN: 0145-5680
PUBLISHER: CMB Association
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Protein tyrosine kinases (TKs) regulate cell proliferation, cell differentiation, and play a fundamental role in signal transduction pathway. Uncontrolled signaling from receptor tyrosine kinases and intracellular tyrosine kinases was related to diseases such as cancer, atherosclerosis and psoriasis. For the present study, we selected a number of structurally related ATP-binding site inhibitors of EGF-receptors of diverse classes. Mol. properties of competitive inhibitors are key features for the action mechanism of these compds. We performed a theor. study at the RHF/6-311G* level of theory, in order to correlate the mol. parameters with the biol. inhibitory activities. Species stability as evaluated by ionization potentials as well as the EHOMO-ELUMO energy gap, is in very good correlation with higher inhibitory potency (IP). The most active species, 1, 5, 6, 10, 11 and 12 exhibited strongly neg. charged atoms over the C6 and C7 positions, the higher IP, higher μ and higher energy gap. In summary, a good correlation was observed between the mol. parameters, such as ionization potential, dipolar moment and EHOMO-ELUMO energy gap and inhibitory potency, suggesting that these properties play an important role for the interaction at the ATP-binding site of EGF-receptors.

IT 159737-61-8
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(structural and electronic properties of tyrosine kinases inhibitors interacting with the ATP-binding site of EGF receptors)

RN 159737-61-8 CAPLUS
CN 4-Quinazolinamine, N-1H-indol-5-yl-6,7-dimethoxy- (9CI) (CA INDEX NAME)



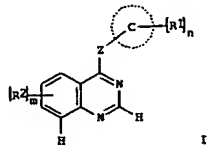
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

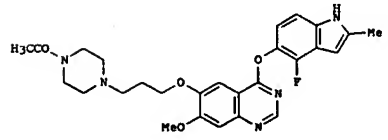
ACCESSION NUMBER: 2003:610442 CAPLUS
DOCUMENT NUMBER: 139:164806
TITLE: Preparation of quinazolines as VEGF receptor inhibitors
INVENTOR(S): Hennequin, Laurent Francois Andre
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064413	A1	20030807	WO 2003-GB343	20030128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1474420	A1	20041110	EP 2003-700951	20030128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007151	A	20041207	BR 2003-7151	20030128
PRIORITY APPLN. INFO.:			EP 2002-290242	A 20020201
			WO 2003-GB343	W 20030128

OTHER SOURCE(S): CASREACT 139:164806; MARPAT 139:164806
GI



I

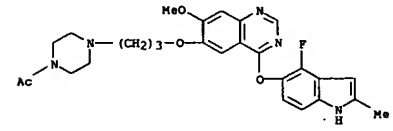


II

AB The title compds. [I; ring C = indolyl, indazolyl or azaindolyl; Z = O, NH, S; n = 0-5; m = 0-3; R2 = H, OH, halo, etc.; R1 = H, halo, oxo, OH, etc.], useful in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepared and formulated. E.g., a multi-step synthesis of II, was given. The compds. I inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no biol. data).

IT 574745-14-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as VEGF inhibitors)

RN 574745-14-5 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

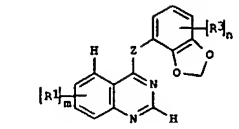
QUINAZOLINE DERIVATIVES

L14 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:832791 CAPLUS
DOCUMENT NUMBER: 137:337908
TITLE: Preparation of antitumor quinazolines
INVENTOR(S): Ple, Patrick
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

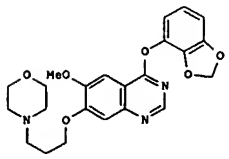
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085895	A1	20021031	WO 2002-GB1734	20020415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1381599	A1	20040121	EP 2002-718343	20020415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525984	T2	20040826	JP 2002-583422	20020415
US 2004138240	A1	20040715	US 2003-475016	20031016
PRIORITY APPLN. INFO.:			EP 2001-401007 A	20010419
			WO 2002-GB1734 W	20020415

OTHER SOURCE(S): MARPAT 137:337908
GI

L14 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

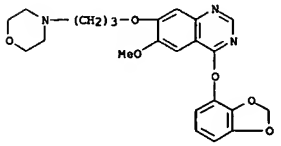


I



II

AB The title compds. [I; Z = O, S, SO, etc.; m = 0-3; R1 = halo, CF3, CN, etc.; n = 0-3; R3 = halo, CF3, CN, etc.], useful in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared Thus, a multi-step synthesis of the quinazoline II, starting from 2-amino-4-benzyloxy-5-methoxybenzamide, was given. The compds. I show IC50 in the range of 0.001-10 μM in in vitro c-Src kinase assay.
IT 474043-92-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antitumor quinazolines)
RN 474043-92-0 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L14 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

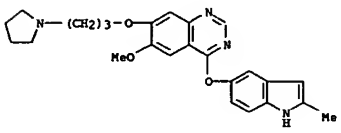
L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:747609 CAPLUS
DOCUMENT NUMBER: 135:283196
TITLE: Therapeutic combinations of antihypertensive and antiangiogenic agents
INVENTOR(S): Curwen, Jon Owen; Ogilvie, Donald James
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074360	A1	20011011	WO 2001-GB1522	20010402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2401854	AA	20011011	CA 2001-2401854	20010402
EP 1272186	A1	20030108	EP 2001-917305	20010402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009729	A	20030204	BR 2001-9729	20010402
JP 2003528917	T2	20030930	JP 2001-572104	20010402
EE 200200578	A	20040615	EE 2002-578	20010402
ZA 2002006959	A	20031201	ZA 2002-6959	20020829
US 2003144298	A1	20030731	US 2002-240413	20021001
NO 2002004814	A	20021112	NO 2002-4814	20021004
PRIORITY APPLN. INFO.:			GB 2000-8269 A	20000405
			WO 2001-GB1522 W	20010402

OTHER SOURCE(S): MARPAT 135:283196
AB The invention concerns the use of a combination of an anti-angiogenic agent and an anti-hypertensive agent for use in the manufacture of a medicament for the treatment of a disease state associated with angiogenesis in a warm-blooded mammal, such as a human being. The invention also relates to pharmaceutical compns. comprising an anti-angiogenic agent and an anti-hypertensive agent, to kits thereof and to a method of treatment of a disease state associated with angiogenesis which comprises the administration of an effective amount of a combination of an anti-angiogenic agent and an anti-hypertensive agent to a warm-blooded animal, such as a human being. Anesthetized rats were dosed orally with 12.5 mg/kg of 4-(4-bromo-2-fluoroanilino)-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)quinazoline for 10 days, then they were dosed orally with 30 mg/kg captopril in addition to quinazoline compound The increase in diastolic blood pressure was reversed by the addition of captopril.
IT 288383-14-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic combinations of antihypertensive and antiangiogenic

QUINAZOLINE DERIVATIVES

L14 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
agents)
RN 288383-14-2 CAPLUS
CN Quinazoline, 6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

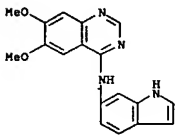


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

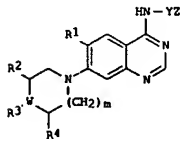
L14 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:372383 CAPLUS
DOCUMENT NUMBER: 135:118641
TITLE: Structural Determinants for Potent, Selective Dual Site Inhibition of Human pp60c-src by 4-Anilinoquinazolines
AUTHOR(S): Tian, Gaochao; Cory, Michael; Smith, Albert A.; Knight, W. Blaine
CORPORATE SOURCE: Departments of Molecular Biochemistry and Structural Chemistry, GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Biochemistry (2001), 40(24), 7084-7091
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The kinetic mechanisms for the inhibition of pp60c-src tyrosine kinase (Src TK) by 4-anilinoquinazolines, an important class of chems. as protein kinase inhibitors, were investigated. 4-Anilinoquinazolines with a bulky group at the 4'-position of the anilino group were shown to be competitive with both ATP and peptide, whereas mols. lacking such a bulky group only displayed an inhibition pattern typical of those competitive with ATP and noncompetitive with peptide. Modifications of the substituents on the carbocyclic ring did not perturb the inhibition pattern although the affinities of these modified inhibitors for Src TK were affected. Structural modeling of Src TK with inhibitor and peptide substrate bound indicated a direct atomic conflict between the bulky 4-position group and the hydroxy of the peptide tyrosyl to which the γ-phosphate of ATP is transferred during the kinase reaction. This atomic conflict would likely prevent simultaneous binding of both inhibitor and peptide, consistent with the observed kinetic competitiveness of the inhibitor with peptide. The dual site inhibitors appeared to have both enhanced potency and selectivity for Src TK. One such inhibitor, 4-(4'-phenoxyanilino)-6,7-dimethoxyquinazoline, had a 15 nM potency against Src TK and was selective over receptor tyrosine kinases VEGFR2 by 88-fold and C-fms by 190-fold.
IT 159768-23-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structural determinants for potent, selective dual site inhibition of human pp60c-src protein tyrosine kinase by 4-anilinoquinazolines)
RN 159768-23-7 CAPLUS
CN 4-Quinazolinamine, N-1H-indol-6-yl-6,7-dimethoxy- (9CI) (CA INDEX NAME)



L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:265402 CAPLUS
DOCUMENT NUMBER: 134:275758
TITLE: Preparation and effect of novel quinazoline derivatives as TNF-α inhibitors
INVENTOR(S): Tobe, Masanori; Isobe, Yoshiaki; Tomizawa, Hideyuki; Matsumoto, Mitsuhiro; Nagasaki, Takahiro; Obara, Fumihiro
PATENT ASSIGNEE(S): Japan Energy Corporation, Japan
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025218	A1	20010412	WO 2000-JP6666	20000927
W: AU, CA, JP, NZ, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2386163	AA	20010412	CA 2000-2386163	20000927
AU 2000074465	A5	20010510	AU 2000-74465	20000927
AU 763033	B2	20030710		
EP 1229025	A1	20020807	EP 2000-962890	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PRIORITY APPLN. INFO.:			JP 1999-282078 A	19991001
			WO 2000-JP6666 W	20000927
OTHER SOURCE(S): MARPAT 134:275758				

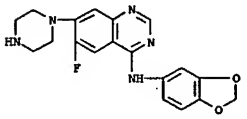
GI



I

AB Title compds. [I; R1 is nitro or halo; R2 and R4 are each hydrogen, C1-4 alkyl, carboxyl, or C2-5 alkoxycarbonyl; R3 is hydrogen, amino, optionally substituted C1-4 alkyl, C1-4 alkanoyl, or C2-5 alkoxycarbonyl; W is carbon or nitrogen; Y = CH2, CH2CH2, CH2CH2CH2; Z = C6H5, 4-ClC6H4, 4-FC6H4, 3,4-OCH2OC6H3, 2-thienyl, 2-furyl, 2-pyridinyl, 3-pyridinyl, 1-naphthyl; m is 0, 1, or 2] and pharmaceutically acceptable salts thereof are prepared as TNF-α inhibitors. Thus, the title compound I (R1 = NO2; R2 = H; R3 = H; R4 = H; W = N; m = 1, Y = CH2CH2; Z = 4-ClC6H4) was prepared and biol. tested.
IT 333400-61-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and effect of novel quinazoline derivs.)

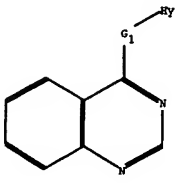
L14 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 333400-61-6 CAPLUS
CN 4-Quinazolinamine, N-1,3-benzodioxol-5-yl-6-fluoro-7-(1-piperazinyl)-(9CI) (CA INDEX NAME)

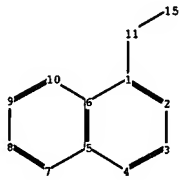


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/502,538

C:\Program Files\Stnexp\Queries\10502538.str





chain nodes :
    11 15
ring nodes :
    1 2 3 4 5 6 7 8 9 10
chain bonds :
    1-11 11-15
ring bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
    1-11 11-15
normalized bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
    containing 1 :

G1:O,S,N

G2:Ph,Hy

G3:H,O,S,N

Hydrogen count :
    3:= exact 1
Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
    15:Atom
Generic attributes :
    15:
    Number of Carbon Atoms : 7 or more
    Type of Ring System   : Polycyclic